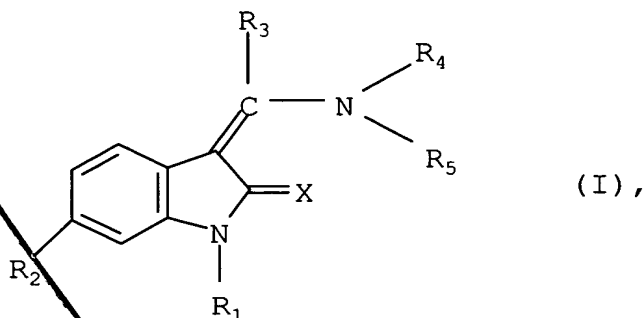


What is claimed is:

1. A compound of the formula I



5 wherein:

X denotes an oxygen or sulphur atom,

R<sub>1</sub> denotes a hydrogen atom or a prodrug group,

10

R<sub>2</sub> denotes a carboxy group, a straight-chain or branched C<sub>1-6</sub>-alkoxy-carbonyl group, a C<sub>4-7</sub>-cycloalkoxy-carbonyl or an aryloxy-carbonyl group,

15

a straight-chain or branched C<sub>1-6</sub>-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a phenyl, heteroaryl, carboxy, C<sub>1-3</sub>-alkoxy-carbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylamino-carbonyl or di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group,

20

a straight-chain or branched C<sub>2-6</sub>-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a chlorine atom or a hydroxy, C<sub>1-3</sub>-alkoxy, amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group,

25

an aminocarbonyl or methylaminocarbonyl group, an ethylaminocarbonyl group optionally substituted in the 2 position of the ethyl group by a hydroxy or C<sub>1-3</sub>-alkoxy group or, if R<sub>4</sub> does not denote an aminosulphonyl-phenyl or N-(C<sub>1-5</sub>-alkyl)-C<sub>1-3</sub>-alkylaminocarbonyl-phenyl group, it may also denote a di-(C<sub>1-2</sub>-alkyl)-aminocarbonyl group,

$R_3$  denotes a hydrogen atom, a  $C_{1-6}$ -alkyl,  $C_{3-7}$ -cycloalkyl, trifluoromethyl or heteroaryl group,

5 a phenyl or naphthyl group, a phenyl or naphthyl group mono- or disubstituted by a fluorine, chlorine, bromine or iodine atom, by a trifluoromethyl,  $C_{1-3}$ -alkyl or  $C_{1-3}$ -alkoxy group, whilst in the event of disubstitution the substituents may be identical or different and wherein the above-mentioned unsubstituted as well as the mono- and disubstituted phenyl and naphthyl groups may additionally be substituted

10 by a hydroxy, hydroxy- $C_{1-3}$ -alkyl or  $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkyl group,

by a cyano, carboxy, carboxy- $C_{1-3}$ -alkyl,  $C_{1-3}$ -alkoxycarbonyl, aminocarbonyl,  $C_{1-3}$ -alkylamino-carbonyl or di- $(C_{1-3}$ -alkyl)-aminocarbonyl group,

15 by a nitro group,

by an amino,  $C_{1-3}$ -alkylamino, di- $(C_{1-3}$ -alkyl)-amino or amino- $C_{1-3}$ -alkyl group,

20 by a  $C_{1-3}$ -alkylcarbonylamino,  $N-(C_{1-3}$ -alkyl)- $C_{1-3}$ -alkyl-carbonylamino,  $C_{1-3}$ -alkylcarbonylamino- $C_{1-3}$ -alkyl,  $N-(C_{1-3}$ -alkyl)- $C_{1-3}$ -alkylcarbonylamino- $C_{1-3}$ -alkyl,  $C_{1-3}$ -alkyl-sulphonylamino,  $C_{1-3}$ -alkylsulphonylamino- $C_{1-3}$ -alkyl,  $N-(C_{1-3}$ -alkyl)- $C_{1-3}$ -alkylsulphonylamino- $C_{1-3}$ -alkyl or aryl- $C_{1-3}$ -alkylsulphonylamino group,

25 by a cycloalkylamino, cycloalkyleneimino, cycloalkyleneiminocarbonyl, cycloalkyleneimino- $C_{1-3}$ -alkyl, cycloalkyleneiminocarbonyl- $C_{1-3}$ -alkyl or cycloalkyleneiminosulphonyl- $C_{1-3}$ -alkyl group having 4 to 7 ring members in each case, whilst in each case the methylene group in position 4 of a 6- or 7-membered  
30 cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH or -N( $C_{1-3}$ -alkyl) group,

or by a heteroaryl or heteroaryl- $C_{1-3}$ -alkyl group,

$R_4$  denotes a  $C_{3-7}$ -cycloalkyl group,

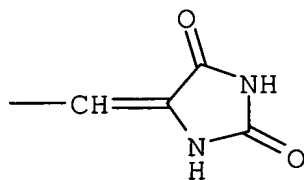
whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be substituted by an amino,  $C_{1-3}$ -alkylamino or di- $(C_{1-3}$ -alkyl)-amino group or replaced by an -NH or -N( $C_{1-3}$ -alkyl) group,

- 10 or a phenyl group substituted by the group  $R_6$ , which may additionally be mono- or disubstituted by fluorine, chlorine, bromine or iodine atoms, by  $C_{1-5}$ -alkyl, trifluoromethyl, hydroxy,  $C_{1-3}$ -alkoxy, carboxy,  $C_{1-3}$ -alkoxycarbonyl, amino, acetylamino,  $C_{1-3}$ -alkyl-sulphonylamino, aminocarbonyl,  $C_{1-3}$ -alkyl-aminocarbonyl, di- $(C_{1-3}$ -alkyl)-aminocarbonyl, aminosulphonyl,  $C_{1-3}$ -alkyl-aminosulphonyl,
- 15 di- $(C_{1-3}$ -alkyl)-aminosulphonyl, nitro or cyano groups, wherein the substituents may be identical or different and wherein

$R_6$  denotes a hydrogen, fluorine, chlorine, bromine or iodine atom,

- 20 a cyano, nitro, amino,  $C_{1-5}$ -alkyl,  $C_{3-7}$ -cycloalkyl, trifluoromethyl, phenyl, tetrazolyl or heteroaryl group,

the group of formula



- 25 wherein the hydrogen atoms bound to a nitrogen atom may in each case be replaced independently of one another by a  $C_{1-3}$ -alkyl group,

a C<sub>1-3</sub>-alkoxy group, a C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy, phenyl-C<sub>1-3</sub>-alkoxy, amino-C<sub>2-3</sub>-alkoxy,  
 C<sub>1-3</sub>-alkylamino-C<sub>2-3</sub>-alkoxy, di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>2-3</sub>-alkoxy, phenyl-C<sub>1-3</sub>-alkylamino-  
 C<sub>2-3</sub>-alkoxy, N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino-C<sub>2-3</sub>-alkoxy,  
 C<sub>5-7</sub>-cycloalkyleneimino-C<sub>2-3</sub>-alkoxy or C<sub>1-3</sub>-alkylmercapto group,

a carboxy, C<sub>1-4</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylamino-carbonyl, N-(C<sub>1-5</sub>-alkyl)-  
 C<sub>1-3</sub>-alkylaminocarbonyl, phenyl-C<sub>1-3</sub>-alkylamino-carbonyl,  
 N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino-carbonyl, piperazinocarbonyl or N-(C<sub>1-3</sub>-alkyl)-pi-  
 perazinocarbonyl group,

a C<sub>1-3</sub>-alkylaminocarbonyl or N-(C<sub>1-5</sub>-alkyl)-C<sub>1-3</sub>-alkylaminocarbonyl group wherein an  
 alkyl moiety is substituted by a carboxy or C<sub>1-3</sub>-alkoxycarbonyl group or in the 2 or 3  
 position by a di-(C<sub>1-3</sub>-alkyl)-amino, piperazino, N-(C<sub>1-3</sub>-alkyl)-piperazino or a 4- to 7-  
 membered cycloalkyleneimino group,

a C<sub>3-7</sub>-cycloalkyl-carbonyl group,

wherein the methylene group in the 4 position of the 6- or 7-membered cycloalkyl  
 moiety may be substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino  
 group or replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

a 4- to 7-membered cycloalkyleneimino group wherein

a methylene group linked to the imino group may be replaced by a carbonyl or  
 sulphonyl group or

the cycloalkylene moiety may be fused to a phenyl ring or

one or two hydrogen atoms may each be replaced by a C<sub>1-3</sub>-alkyl group and/or

in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl, di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl, phenyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(phenyl), -N(C<sub>1-3</sub>-alkyl-carbonyl) or -N(benzoyl) group,

a C<sub>1-4</sub>-alkyl group substituted by the group R<sub>7</sub>, wherein

R<sub>7</sub> denotes a C<sub>3-7</sub>-cycloalkyl group,

whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group or

in a 5- to 7-membered cycloalkyl group a -(CH<sub>2</sub>)<sub>2</sub> group may be replaced by a -CO-NH group, a -(CH<sub>2</sub>)<sub>3</sub> group may be replaced by a -NH-CO-NH or -CO-NH-CO group or a -(CH<sub>2</sub>)<sub>4</sub> group may be replaced by a -NH-CO-NH-CO group, whilst in each case a hydrogen atom bound to a nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl group,

an aryl or heteroaryl group,

a hydroxy or C<sub>1-3</sub>-alkoxy group,

an amino, C<sub>1-7</sub>-alkylamino, di-(C<sub>1-7</sub>-alkyl)-amino, phenylamino, N-phenyl-C<sub>1-3</sub>-alkyl-amino, phenyl-C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino or di-(phenyl-C<sub>1-3</sub>-alkyl)-amino group,

an  $\omega$ -hydroxy-C<sub>2-3</sub>-alkyl-amino, N-(C<sub>1-3</sub>-alkyl)- $\omega$ -hydroxy-C<sub>2-3</sub>-alkyl-amino, di-( $\omega$ -hydroxy-C<sub>2-3</sub>-alkyl)-amino, di-( $\omega$ -(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl)-amino or N-(dioxolan-2-yl)-C<sub>1-3</sub>-alkyl-amino group,

a C<sub>1-3</sub>-alkylcarbonylamino-C<sub>2-3</sub>-alkyl-amino or C<sub>1-3</sub>-alkylcarbonylamino-C<sub>2-3</sub>-alkyl-N-(C<sub>1-3</sub>-alkyl)-amino group,

a C<sub>1-3</sub>-alkylsulphonylamino, N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkylsulphonylamino, C<sub>1-3</sub>-alkylsulphonylamino-C<sub>2-3</sub>-alkyl-amino or C<sub>1-3</sub>-alkylsulphonylamino-C<sub>2-3</sub>-alkyl-N-(C<sub>1-3</sub>-alkyl)-amino group,

a hydroxycarbonyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-hydroxycarbonyl-C<sub>1-3</sub>-alkyl-amino group,

a guanidino group wherein one or two hydrogen atoms may each be replaced by a C<sub>1-3</sub>-alkyl group,

a group of formula



wherein

R<sub>8</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

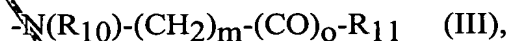
n denotes one of the numbers 0, 1, 2 or 3 and

R<sub>9</sub> denotes an amino, C<sub>1-4</sub>-alkylamino, di-(C<sub>1-4</sub>-alkyl)-amino, phenylamino, N-(C<sub>1-4</sub>-alkyl)-phenylamino, benzylamino, N-(C<sub>1-4</sub>-alkyl)-benzylamino or C<sub>1-4</sub>-alkoxy group, a 4- to 7-membered cycloalkyleneimino group, whilst in each case the methylene group in the 4 position of a 6- or 7-membered

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cont

cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(phenyl), -N(C<sub>1-3</sub>-alkyl-carbonyl) or -N(benzoyl) group, or, if n denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

5 a group of formula



10 wherein

R<sub>10</sub> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl group, a C<sub>1-3</sub>-alkylcarbonyl, arylcarbonyl, phenyl-C<sub>1-3</sub>-alkyl-carbonyl, C<sub>1-3</sub>-alkylsulphonyl, arylsulphonyl or phenyl-C<sub>1-3</sub>-alkylsulphonyl group,

15 m denotes one of the numbers 1, 2, 3 or 4,

o denotes the number 1 or, if m denotes one of the numbers 2, 3 or 4, o may also denote the number 0 and

20 R<sub>11</sub> denotes an amino, C<sub>1-4</sub>-alkylamino, di-(C<sub>1-4</sub>-alkyl)-amino, phenylamino, N-(C<sub>1-4</sub>-alkyl)-phenylamino, benzylamino, N-(C<sub>1-4</sub>-alkyl)-benzylamino, C<sub>1-4</sub>-alkoxy or C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkoxy group, a di-(C<sub>1-4</sub>-alkyl)-amino-C<sub>1-3</sub>-alkylamino group optionally substituted in the 1 position by a C<sub>1-3</sub>-alkyl group or a 4- to 7-membered cycloalkyleneimino group, wherein the cycloalkylene moiety may be fused to a phenyl ring or in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(phenyl), -N(C<sub>1-3</sub>-alkyl-carbonyl) or -N(benzoyl) group,

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cont

a C<sub>4-7</sub>-cycloalkylamino, C<sub>4-7</sub>-cycloalkyl-C<sub>1-3</sub>-alkylamino or C<sub>4-7</sub>-cycloalkenylamino group wherein position 1 of the ring is not involved in the double bond and wherein the abovementioned groups may each additionally be substituted at the amino-nitrogen atom by a C<sub>5-7</sub>-cycloalkyl, C<sub>2-4</sub>-alkenyl or C<sub>1-4</sub>-alkyl group,

5

a 4- to 7-membered cycloalkyleneimino group, wherein

the cycloalkylene moiety may be fused to a phenyl group or to an oxazolo, imidazolo, thiazolo, pyridino, pyrazino or pyrimidino group optionally substituted by a fluorine, chlorine, bromine or iodine atom, by a nitro, C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy or amino group, and/or

10

one or two hydrogen atoms may each be replaced by a C<sub>1-3</sub>-alkyl, C<sub>5-7</sub>-cycloalkyl or phenyl group and/or

15

the methylene group in the 3 position of a 5-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy or C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl group,

20

the methylene group in the 3 or 4 position of a 6- or 7-membered cycloalkyleneimino group may in each case be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkyl, carboxy, C<sub>1-4</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl, di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl, phenyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkyl-amino group or

25

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl-), -N(phenyl), -N(phenyl-C<sub>1-3</sub>-alkyl-), -N(C<sub>1-3</sub>-alkyl-carbonyl-), -N(C<sub>1-4</sub>-hydroxy-carbonyl-), -N(C<sub>1-4</sub>-alkoxy-carbonyl-), -N(benzoyl-) or -N(phenyl-C<sub>1-3</sub>-alkyl-carbonyl-) group,

30



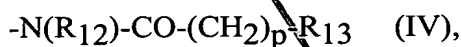
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5 wherein a methylene group linked to an imino-nitrogen atom of the cycloalkyleneimino group may be replaced by a carbonyl or sulphonyl group or in a 5- to 7-membered monocyclic cycloalkyleneimino group or a cycloalkyleneimino group fused to a phenyl group the two methylene groups linked to the imino-nitrogen atom may each be replaced by a carbonyl group,

10 or R<sub>6</sub> denotes a C<sub>1-4</sub>-alkyl group which is substituted by a carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl or di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group or by a 4- to 7-membered cycloalkyleneiminocarbonyl group,

an N-(C<sub>1-3</sub>-alkyl)-C<sub>2-4</sub>-alkanoylamino group which is additionally substituted in the alkyl moiety by a carboxy or C<sub>1-3</sub>-alkoxycarbonyl group,

15 a group of formula



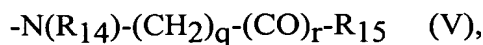
20 wherein

R<sub>12</sub> denotes a hydrogen atom, a C<sub>1-6</sub>-alkyl or C<sub>3-7</sub>-cycloalkyl group or a C<sub>1-3</sub>-alkyl group terminally substituted by a phenyl, heteroaryl, trifluoromethyl, hydroxy, C<sub>1-3</sub>-alkoxy, aminocarbonyl, C<sub>1-4</sub>-alkylamino-carbonyl, di-(C<sub>1-4</sub>-alkyl)-amino-carbonyl, C<sub>1-3</sub>-alkyl-carbonyl, C<sub>1-3</sub>-alkyl-sulphonylamino, N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkyl-sulphonylamino, C<sub>1-3</sub>-alkyl-aminosulphonyl or di-(C<sub>1-3</sub>-alkyl)-aminosulphonyl group and

p denotes one of the numbers 0, 1, 2 or 3 and

30 R<sub>13</sub> assumes the meanings of the abovementioned group R<sub>7</sub>, or, if p denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

B' cont  
 a group of formula



5

wherein

R<sub>14</sub> denotes a hydrogen atom, a C<sub>1-4</sub>-alkyl group, a C<sub>1-3</sub>-alkylcarbonyl, arylcarbonyl, phenyl-C<sub>1-3</sub>-alkylcarbonyl, heteroarylcarbonyl, heteroaryl-C<sub>1-3</sub>-alkylcarbonyl, C<sub>1-4</sub>-alkylsulphonyl, arylsulphonyl, phenyl-C<sub>1-3</sub>-alkylsulphonyl, heteroarylsulphonyl or heteroaryl-C<sub>1-3</sub>-alkylsulphonyl group,

10

q denotes one of the numbers 1, 2, 3 or 4,

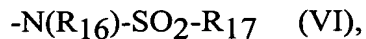
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r denotes the number 1 or, if q is one of the numbers 2, 3 or 4, it may also denote the number 0 and

R<sub>15</sub> assumes the meanings of the abovementioned group R<sub>7</sub>,

20

a group of formula



wherein

25

R<sub>16</sub> denotes a hydrogen atom or a C<sub>1-4</sub>-alkyl group optionally terminally substituted by a cyano, trifluoromethyl-carbonylamino or N-(C<sub>1-3</sub>-alkyl)-trifluoromethyl-carbonyl-amino group and

30

R<sub>17</sub> denotes a C<sub>1-3</sub>-alkyl group,

*B1 cont*

an amino group substituted by a di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>1-3</sub>-alkyl-carbonyl or di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>1-3</sub>-alkyl-sulphonyl group and a di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl-C<sub>1-3</sub>-alkyl group,

5 or an N-(C<sub>1-3</sub>-alkyl)-C<sub>1-5</sub>-alkylsulphonylamino or N-(C<sub>1-3</sub>-alkyl)-phenylsulphonylamino group wherein the alkyl moiety is additionally substituted by a cyano or carboxy group,

wherein all the single-bonded or fused phenyl groups contained in the groups mentioned under R<sub>6</sub> may be mono- or disubstituted by fluorine, chlorine, bromine or iodine atoms, by C<sub>1-5</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1-3</sub>-alkoxy, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-4</sub>-alkylamino-carbonyl, di-(C<sub>1-4</sub>-alkyl)-amino-carbonyl, aminosulphonyl, C<sub>1-3</sub>-alkyl-aminosulphonyl, di-(C<sub>1-3</sub>-alkyl)-aminosulphonyl, C<sub>1-3</sub>-alkyl-sulphonylamino, nitro or cyano groups, wherein the substituents may be identical or different, or two adjacent hydrogen atoms of the phenyl groups may be replaced by a methylenedioxy group,

and

R<sub>5</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

wherein by an aryl group is meant a phenyl or naphthyl group optionally mono- or disubstituted by a fluorine, chlorine, bromine or iodine atom, by a cyano, trifluoromethyl, nitro, carboxy, aminocarbonyl, C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group and

25 by a heteroaryl group is meant a monocyclic 5- or 6-membered heteroaryl group optionally substituted by a C<sub>1-3</sub>-alkyl group in the carbon skeleton, wherein

the 6-membered heteroaryl group contains one, two or three nitrogen atoms and

30 the 5-membered heteroaryl group contains an imino group optionally substituted by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group, an oxygen or sulphur atom or

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cont

an imino group optionally substituted by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group or an oxygen or sulphur atom and additionally a nitrogen atom or

5 an imino group optionally substituted by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group and two nitrogen atoms,

and moreover a phenyl ring may be fused to the abovementioned monocyclic heterocyclic groups via two adjacent carbon atoms and the bonding takes place via a  
10 nitrogen atom or via a carbon atom of the heterocyclic moiety or a fused phenyl ring,

some or all of the hydrogen atoms in the abovementioned alkyl and alkoxy groups or in the alkyl moieties contained in the above-defined groups of formula I may be replaced by  
15 fluorine atoms,

and the hydrogen atom of any carboxy group present or a hydrogen atom bound to a nitrogen atom may each be replaced by a group which can be cleaved *in vivo*,

or a tautomer or salt thereof.

20  
2. A compound of the formula I according to claim 1, wherein:

R<sub>1</sub> and R<sub>3</sub> are as defined in claim 1,

25 X denotes an oxygen atom,

R<sub>2</sub> denotes a carboxy group, a straight-chain or branched C<sub>1-6</sub>-alkoxy-carbonyl group, a C<sub>5-7</sub>-cycloalkoxycarbonyl or a phenoxycarbonyl group,

30

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a straight-chain or branched C<sub>1-3</sub>-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a phenyl, heteroaryl, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl or di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group,

5 a straight-chain or branched C<sub>2-3</sub>-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a chlorine atom, by a hydroxy, C<sub>1-3</sub>-alkoxy, amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group,

an aminocarbonyl or methylaminocarbonyl group, an ethylaminocarbonyl group optionally  
10 substituted in the 2 position of the ethyl group by a hydroxy or C<sub>1-3</sub>-alkoxy group or, if R<sub>4</sub> does not denote an aminosulphonyl-phenyl or N-(C<sub>1-5</sub>-alkyl)-C<sub>1-3</sub>-alkylaminocarbonyl-phenyl group, it may also denote a di-(C<sub>1-2</sub>-alkyl)-aminocarbonyl group,

R<sub>4</sub> denotes a C<sub>3-7</sub>-cycloalkyl group,

15 whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl group may be substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

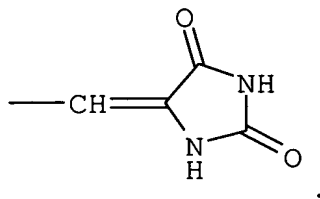
20 or a phenyl group substituted by the group R<sub>6</sub>, which may additionally be mono- or disubstituted by fluorine, chlorine or bromine atoms, by C<sub>1-3</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1-3</sub>-alkoxy, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, amino, acetylamino, aminocarbonyl, C<sub>1-3</sub>-alkyl-aminocarbonyl, di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl, nitro or cyano groups, wherein the substituents may be identical or different and wherein

25 R<sub>6</sub> denotes a hydrogen, fluorine, chlorine, bromine or iodine atom,

a cyano, nitro, amino, C<sub>1-5</sub>-alkyl, C<sub>3-7</sub>-cycloalkyl, trifluoromethyl, phenyl, tetrazolyl or heteroaryl group,

30 the group of formula

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*cont*



wherein a hydrogen atom bound to the nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl group,

5 a C<sub>1-3</sub>-alkoxy group, an amino-C<sub>2-3</sub>-alkoxy, C<sub>1-3</sub>-alkylamino-C<sub>2-3</sub>-alkoxy, di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>2-3</sub>-alkoxy, phenyl-C<sub>1-3</sub>-alkylamino-C<sub>2-3</sub>-alkoxy, N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino-C<sub>2-3</sub>-alkoxy, pyrrolidino-C<sub>2-3</sub>-alkoxy, piperidino-C<sub>2-3</sub>-alkoxy or C<sub>1-3</sub>-alkylmercapto group,

10 a carboxy, C<sub>1-4</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylamino-carbonyl, phenyl-C<sub>1-3</sub>-alkylamino-carbonyl or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino-carbonyl group,

a C<sub>3-7</sub>-cycloalkyl-carbonyl group,

15

wherein the methylene group in the 4 position of the 6- or 7-membered cycloalkyl moiety may be replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

a 4- to 7-membered cycloalkyleneimino group, wherein

20

a methylene group linked to the imino group may be replaced by a carbonyl or sulphonyl group or

one or two hydrogen atoms may each be replaced by a C<sub>1-3</sub>-alkyl group and/or

25

in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a carboxy, C<sub>1-3</sub>-alkoxycarbonyl,

aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl, di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl,  
phenyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH or  
-N(C<sub>1-3</sub>-alkyl) group,

a C<sub>1-4</sub>-alkyl group terminally substituted by the group R<sub>7</sub>, wherein

R<sub>7</sub> denotes a C<sub>5-7</sub>-cycloalkyl group,

whilst the methylene group in the 4 position of a 6- or 7-membered cycloalkyl  
group may be replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group or

in a 5- to 7-membered cycloalkyl group a -(CH<sub>2</sub>)<sub>2</sub> group may be replaced by a  
-CO-NH group, a -(CH<sub>2</sub>)<sub>3</sub> group may be replaced by a -NH-CO-NH- or a  
-(CH<sub>2</sub>)<sub>4</sub> group may be replaced by a -NH-CO-NH-CO group, whilst in each case  
a hydrogen atom bound to a nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl  
group,

a phenyl or heteroaryl group,

a hydroxy or C<sub>1-3</sub>-alkoxy group,

an amino, C<sub>1-6</sub>-alkylamino, di-(C<sub>1-6</sub>-alkyl)-amino, phenylamino, N-phenyl-C<sub>1-3</sub>-alkyl-  
amino, phenyl-C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino or di-(phenyl-  
C<sub>1-3</sub>-alkyl)-amino group,

a ω-hydroxy-C<sub>2-3</sub>-alkyl-amino, N-(C<sub>1-3</sub>-alkyl)-ω-hydroxy--C<sub>2-3</sub>-alkyl-amino,  
di-(ω-hydroxy-C<sub>2-3</sub>-alkyl)-amino, di-(ω-(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl)-amino or  
N-(dioxolan-2-yl)-C<sub>1-3</sub>-alkyl-amino group,

a C<sub>1-3</sub>-alkylcarbonylamino-C<sub>2-3</sub>-alkyl-amino or

C<sub>1-3</sub>-alkylcarbonylamino-C<sub>2-3</sub>-alkyl-N-(C<sub>1-3</sub>-alkyl)-amino group,

a C<sub>1-3</sub>-alkylsulphonylamino, N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkylsulphonylamino,

C<sub>1-3</sub>-alkylsulphonylamino--C<sub>2-3</sub>-alkyl-amino or C<sub>1-3</sub>-alkylsulphonylamino-C<sub>2-3</sub>-alkyl-  
-N-(C<sub>1-3</sub>-alkyl)-amino group,

a hydroxycarbonyl-C<sub>1-3</sub>-alkylamino or

N-(C<sub>1-3</sub>-alkyl)-hydroxycarbonyl-C<sub>1-3</sub>-alkyl-amino group

a guanidino group wherein a hydrogen atom may be replaced by a C<sub>1-3</sub>-alkyl group,

a group of formula



wherein

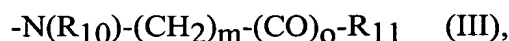
R<sub>8</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

n denotes one of the numbers 0, 1, 2 or 3 and

R<sub>9</sub> denotes an amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino, phenylamino, benzylamino or C<sub>1-4</sub>-alkoxy group, a 5- to 7-membered cycloalkyleneimino group, wherein the methylene group in position 4 of the piperidino group may be replaced by an oxygen or sulphur atom, by an -NH, -N(C<sub>1-3</sub>-alkyl), -N(phenyl), -N(C<sub>1-3</sub>-alkyl-carbonyl) or -N(benzoyl) group, or, if n denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

a group of formula





wherein

$R_{10}$  denotes a hydrogen atom, a  $C_{1-3}$ -alkyl group, a  $C_{1-3}$ -alkylcarbonyl or  $C_{1-3}$ -alkylsulphonyl group,

$m$  denotes one of the numbers 1, 2 or 3,

$o$  denotes the number 1 or, if  $m$  is one of the numbers 2 or 3,  $o$  may also denote the number 0 and

$R_{11}$  denotes an amino,  $C_{1-3}$ -alkylamino, di- $(C_{1-3}$ -alkyl)-amino,  $C_{1-4}$ -alkoxy or  $C_{1-3}$ -alkoxy- $C_{1-3}$ -alkoxy group or a 5- to 7-membered cycloalkyleneimino group, wherein the methylene group in position 4 of the piperidino group may be replaced by an oxygen or sulphur atom, by an -NH, -N( $C_{1-3}$ -alkyl), -N(phenyl), -N( $C_{1-3}$ -alkyl-carbonyl) or -N(benzoyl) group,

a  $C_{4-7}$ -cycloalkylamino or  $C_{4-7}$ -cycloalkenylamino group wherein position 1 of the ring is not involved in the double bond,

a 4- to 7-membered cycloalkyleneimino group, wherein

the cycloalkylene moiety may be fused to a phenyl group or

one or two hydrogen atoms may each be replaced by a  $C_{1-3}$ -alkyl group and/or

the methylene group in position 3 of the pyrrolidino group may be substituted by a hydroxy or  $C_{1-3}$ -alkoxy group,

*B' cont*

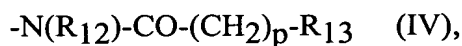
in each case the methylene group in the 4 position of a 6- or 7-membered cycloalkyleneimino group may be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylamino-carbonyl, di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl, phenyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(phenyl), -N(phenyl-C<sub>1-3</sub>-alkyl), -N(C<sub>1-3</sub>-alkyl-carbonyl), -N(C<sub>1-4</sub>-alkoxy-carbonyl), -N(benzoyl) or -N(phenyl-C<sub>1-3</sub>-alkyl-carbonyl) group,

wherein a methylene group linked to an imino-nitrogen atom of the cycloalkyleneimino group may be replaced by a carbonyl or sulphonyl group or in a 5- to 6-membered monocyclic cycloalkyleneimino group or a cycloalkyleneimino group fused to a phenyl group the two methylene groups linked to the imino-nitrogen atom may each be replaced by a carbonyl group,

or R<sub>6</sub> denotes a C<sub>1-4</sub>-alkyl group which is terminally substituted by a carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl or di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group or by a 4- to 7-membered cycloalkyleneiminocarbonyl group,

a group of formula



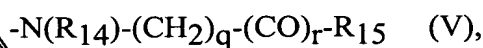
wherein

R<sub>12</sub> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl, C<sub>5-7</sub>-cycloalkyl, phenyl-C<sub>1-3</sub>-alkyl or heteroaryl-C<sub>1-3</sub>-alkyl group and

p denotes one of the numbers 0, 1, 2 or 3 and

R<sub>13</sub> assumes the meanings of the abovementioned group R<sub>7</sub>, or, if p denotes one of the numbers 1, 2 or 3, it may also denote a hydrogen atom,

a group of formula



wherein

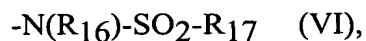
R<sub>14</sub> denotes a hydrogen atom, a C<sub>1-4</sub>-alkyl group, a C<sub>1-3</sub>-alkylcarbonyl, phenylcarbonyl, phenyl-C<sub>1-3</sub>-alkylcarbonyl, heteroarylcarbonyl, heteroaryl-C<sub>1-3</sub>-alkylcarbonyl, C<sub>1-4</sub>-alkylsulphonyl, phenylsulphonyl, phenyl-C<sub>1-3</sub>-alkylsulphonyl, heteroarylsulphonyl or heteroaryl-C<sub>1-3</sub>-alkyl-sulphonyl group,

q denotes one of the numbers 1, 2, 3 or 4,

r denotes the number 1 or, if q is one of the numbers 2, 3 or 4, it may also denote the number 0 and

R<sub>15</sub> assumes the meanings of the abovementioned group R<sub>7</sub>,

a group of formula



wherein

*B' cat*

R<sub>16</sub> denotes a hydrogen atom or a C<sub>1-4</sub>-alkyl group optionally terminally substituted by a cyano, trifluoromethyl-carbonylamino or N-(C<sub>1-3</sub>-alkyl)-trifluoromethyl-carbonyl-amino group and

5 R<sub>17</sub> denotes a C<sub>1-3</sub>-alkyl group,

an amino group substituted by a di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>1-3</sub>-alkyl-carbonyl or di-(C<sub>1-3</sub>-alkyl)-amino-C<sub>1-3</sub>-alkyl-sulphonyl group and a di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl-C<sub>1-3</sub>-alkyl group,

10 wherein all the single-bonded or fused phenyl groups contained in the groups mentioned under R<sub>6</sub> may be mono- or disubstituted by fluorine, chlorine or bromine atoms, by C<sub>1-3</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1-3</sub>-alkoxy, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkyl-aminocarbonyl, 15 aminosulphonyl, C<sub>1-3</sub>-alkyl-aminosulphonyl, nitro or cyano groups, wherein the substituents may be identical or different, or two adjacent hydrogen atoms of the phenyl groups may be replaced by a methylenedioxy group, and

20 R<sub>5</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

whilst by a heteroaryl group as mentioned above is meant a pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl or triazolyl group optionally substituted in the carbon skeleton by a C<sub>1-3</sub>-alkyl group wherein a hydrogen atom bound to a nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl or 25 phenyl-C<sub>1-3</sub>-alkyl group and wherein the 5-membered heteroaryl groups containing at least one imino group are bound via a carbon or nitrogen atom,

a hydrogen atom bound to a nitrogen atom in the abovementioned groups may be replaced by a group which can be cleaved *in vivo*,

the carboxy groups contained in the abovementioned groups may each be substituted by a group which can be cleaved *in vivo*,

some or all of the hydrogen atoms in the abovementioned alkyl and alkoxy groups or in the alkyl moieties contained in the above-defined groups of formula I may be replaced by fluorine atoms and

or a tautomer or salt thereof.

3. A compound of the formula I according to claim 1, wherein:

X denotes an oxygen atom,

R<sub>1</sub> denotes a hydrogen atom,

R<sub>2</sub> denotes a carboxy group, a straight-chain or branched C<sub>1-4</sub>-alkoxycarbonyl group or a phenoxycarbonyl group,

a straight-chain or branched C<sub>1-3</sub>-alkoxy-carbonyl group, which is terminally substituted in the alkyl moiety by a phenyl, carboxy, C<sub>1-3</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>1-3</sub>-alkylaminocarbonyl or di-(C<sub>1-3</sub>-alkyl)-aminocarbonyl group,

a straight-chain or branched C<sub>2-3</sub>-alkoxy-carbonyl group which is terminally substituted in the alkyl moiety by a hydroxy, C<sub>1-3</sub>-alkoxy, amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group,

an aminocarbonyl or methylaminocarbonyl group, an ethylaminocarbonyl group optionally substituted in the 2 position of the ethyl group by a hydroxy or C<sub>1-3</sub>-alkoxy group or, if R<sub>4</sub> does not denote an aminosulphonyl-phenyl or N-(C<sub>1-5</sub>-alkyl)-C<sub>1-3</sub>-alkylaminocarbonyl-phenyl group, it may also denote a di-(C<sub>1-2</sub>-alkyl)-aminocarbonyl group,

*B' cont*

R<sub>3</sub> denotes a C<sub>1-4</sub>-alkyl group or a phenyl group which may be substituted by a fluorine, chlorine or bromine atom, by a trifluoromethyl, C<sub>1-3</sub>-alkyl, hydroxy or C<sub>1-3</sub>-alkoxy group,

R<sub>4</sub> denotes a C<sub>5-6</sub>-cycloalkyl group,

wherein the methylene group in position 4 of the cyclohexyl group may be substituted by an amino, C<sub>1-3</sub>-alkylamino or di-(C<sub>1-3</sub>-alkyl)-amino group or replaced by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

a phenyl group, a phenyl group disubstituted by C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy or nitro groups, wherein the substituents may be identical or different, or

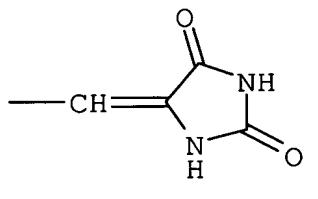
a phenyl group substituted by the group R<sub>6</sub>, which may additionally be substituted by a fluorine, chlorine or bromine atom or by an amino or nitro group, wherein

R<sub>6</sub> denotes a fluorine, chlorine or bromine atom,

a C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkoxy, nitro, amino or C<sub>5-6</sub>-cycloalkyl group,

a pyrrolyl, pyrazolyl, imidazolyl, triazolyl or tetrazolyl group bound via a carbon atom, wherein the abovementioned heteroaromatic groups in the carbon skeleton may be substituted by a C<sub>1-3</sub>-alkyl group or a hydrogen atom bound to a nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group,

the group of formula



B/cont  
a carboxy, C<sub>1-4</sub>-alkoxycarbonyl, phenyl-C<sub>1-3</sub>-alkylamino-carbonyl or C<sub>5-7</sub>-cycloalkyl-carbonyl group,

a 5 or 6-membered cycloalkyleneimino group, wherein

the methylene group in position 4 of the piperidino group may be replaced by an oxygen or sulphur atom, by an -NH or -N(C<sub>1-3</sub>-alkyl) group,

an unbranched C<sub>1-3</sub>-alkyl group terminally substituted by the group R<sub>7</sub>, wherein

R<sub>7</sub> denotes a C<sub>5-7</sub>-cycloalkyl group,

wherein in a 5 or 6-membered cycloalkyl group a -(CH<sub>2</sub>)<sub>2</sub> group may be replaced by a -CO-NH group, a -(CH<sub>2</sub>)<sub>3</sub> group may be replaced by an -NH-CO-NH- or a -(CH<sub>2</sub>)<sub>4</sub> group may be replaced by an -NH-CO-NH-CO group, whilst in each case a hydrogen atom bound to a nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl group,

a phenyl or pyridinyl group or a pyrrolyl, pyrazolyl, imidazolyl or triazolyl group bound via a carbon or nitrogen atom, wherein the abovementioned heteroaromatic groups in the carbon skeleton may be substituted by a C<sub>1-3</sub>-alkyl group or a hydrogen atom bound to a nitrogen atom may be replaced by a C<sub>1-3</sub>-alkyl group,

a hydroxy or C<sub>1-3</sub>-alkoxy group,

an amino, C<sub>1-6</sub>-alkylamino, di-(C<sub>1-6</sub>-alkyl)-amino, phenylamino, N-phenyl-C<sub>1-3</sub>-alkylamino, phenyl-C<sub>1-3</sub>-alkylamino or N-(C<sub>1-3</sub>-alkyl)-phenyl-C<sub>1-3</sub>-alkylamino group,

a ω-hydroxy-C<sub>2-3</sub>-alkyl-amino, N-(C<sub>1-3</sub>-alkyl)-ω-hydroxy-C<sub>2-3</sub>-alkylamino, di-(ω-hydroxy-C<sub>2-3</sub>-alkyl)-amino or di-(ω-(C<sub>1-3</sub>-alkoxy)-C<sub>2-3</sub>-alkyl)-amino group,

*B' con*

a C<sub>1-3</sub>-alkylcarbonylamino-C<sub>2-3</sub>-alkyl-amino or  
C<sub>1-3</sub>-alkylcarbonylamino-C<sub>2-3</sub>-alkyl-N-(C<sub>1-3</sub>-alkyl)-amino group,

5 a C<sub>1-3</sub>-alkylsulphonylamino, N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkylsulphonylamino,  
C<sub>1-3</sub>-alkylsulphonylamino--C<sub>2-3</sub>-alkylamino or C<sub>1-3</sub>-alkylsulphonylamino-  
-C<sub>2-3</sub>-alkyl-N-(C<sub>1-3</sub>-alkyl)-amino group,

10 a hydroxycarbonyl-C<sub>1-3</sub>-alkylamino or  
N-(C<sub>1-3</sub>-alkyl)-hydroxycarbonyl-C<sub>1-3</sub>-alkyl-amino group,

a guanidino group wherein a hydrogen atom may be replaced by a C<sub>1-3</sub>-alkyl group,

15 a group of formula



wherein

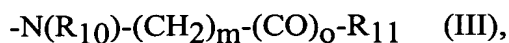
20 R<sub>8</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

n denotes one of the numbers 0, 1, 2 or 3 and

25 R<sub>9</sub> denotes an amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino or C<sub>1-4</sub>-alkoxy  
group, a 5- or 6-membered cycloalkyleneimino group, wherein the methylene  
group in position 4 of the piperidino group may be replaced by an -NH,  
-N(C<sub>1-3</sub>-alkyl) or -N(C<sub>1-3</sub>-alkyl-carbonyl) group, or, if n denotes one of the  
numbers 1, 2 or 3, R<sub>9</sub> may also denote a hydrogen atom,

30 a group of formula





wherein

R<sub>10</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group,

m denotes one of the numbers 1, 2 or 3,

o denotes the number 1 or, if m is one of the numbers 2 or 3, o may also denote the number 0 and

R<sub>11</sub> denotes an amino, C<sub>1-3</sub>-alkylamino, di-(C<sub>1-3</sub>-alkyl)-amino, C<sub>1-4</sub>-alkoxy or methoxy-C<sub>1-3</sub>-alkoxy group or a 5- or 6-membered cycloalkyleneimino group, wherein the methylene group in position 4 of the piperidino group may be replaced by an -NH, -N(C<sub>1-3</sub>-alkyl) or -N(C<sub>1-3</sub>-alkyl-carbonyl) group,

an azetidino, pyrrolidino, piperidino, 2,6-dimethyl-piperidino, 3,5-dimethyl-piperidino or azepino group, wherein

the methylene group in position 3 of the pyrrolidino group may be substituted by a hydroxy group,

the methylene group in position 4 of the piperidino group may be substituted by a hydroxy, hydroxy-C<sub>1-3</sub>-alkyl or C<sub>1-3</sub>-alkoxy group or

may be replaced by an oxygen or sulphur atom, by a sulphinyl, sulphonyl, -NH, -N(C<sub>1-3</sub>-alkyl), -N(C<sub>1-3</sub>-alkyl-carbonyl), -N(benzoyl) or -N(phenyl-C<sub>1-3</sub>-alkyl-carbonyl) group,

wherein a methylene group linked to an imino-nitrogen atom of the pyrrolidino, piperidino or piperazino group may be replaced by a carbonyl group,

5 or R<sub>6</sub> denotes a straight-chain C<sub>1-3</sub>-alkyl group which is terminally substituted by a carboxy or C<sub>1-3</sub>-alkoxy-carbonyl group,

a group of formula

10  $-N(R_{12})-CO-(CH_2)_p-R_{13}$  (IV),

wherein

15 R<sub>12</sub> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl or phenyl-C<sub>1-3</sub>-alkyl group,

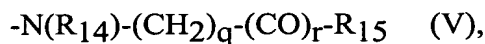
p denotes one of the numbers 0, 1 or 2 and

20 R<sub>13</sub> denotes an amino, C<sub>1-4</sub>-alkylamino, di-(C<sub>1-4</sub>-alkyl)-amino, benzylamino, N-(C<sub>1-3</sub>-alkyl)-benzylamino, C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkylamino, N-(C<sub>1-3</sub>-alkyl)-C<sub>1-3</sub>-alkoxy-C<sub>1-3</sub>-alkylamino, di-(2-methoxy-ethyl)-amino, di-(ω-hydroxy-C<sub>2-3</sub>-alkyl)-amino or aminocarbonyl-methyl-N-(methyl)-amino group,

25 a pyrrolyl, pyrazolyl or imidazolyl group bound via a nitrogen atom and optionally substituted by a C<sub>1-3</sub>-alkyl group,

30 a pyrrolidino, piperidino, morpholino, thiomorpholino or a piperazino group optionally substituted in the 4 position by a C<sub>1-3</sub>-alkyl, phenyl-C<sub>1-3</sub>-alkyl, C<sub>1-3</sub>-alkylcarbonyl or C<sub>1-4</sub>-alkoxycarbonyl group or, if n denotes the number 1 or 2, it may also denote a hydrogen atom,

a group of formula



wherein

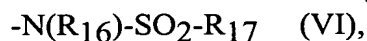
R<sub>14</sub> denotes a hydrogen atom, a C<sub>1-4</sub>-alkyl, C<sub>1-3</sub>-alkyl-carbonyl, phenylcarbonyl, phenyl-C<sub>1-3</sub>-alkylcarbonyl, furyl-carbonyl, pyridinyl-carbonyl, furyl-C<sub>1-3</sub>-alkyl-carbonyl, pyridinyl-C<sub>1-3</sub>-alkylcarbonyl, C<sub>1-4</sub>-alkylsulphonyl, phenylsulphonyl or phenyl-C<sub>1-3</sub>-alkylsulphonyl group,

q denotes one of the numbers 1, 2 or 3,

r denotes the number 1 or, if q is one of the numbers 2 or 3, it may also denote the number 0 and

R<sub>15</sub> denotes an amino, C<sub>1-4</sub>-alkylamino, di-(C<sub>1-4</sub>-alkyl)-amino, phenylamino, N-(C<sub>1-4</sub>-alkyl)-phenylamino, benzylamino or N-(C<sub>1-4</sub>-alkyl)-benzylamino group,

or a group of formula



wherein

R<sub>16</sub> denotes a hydrogen atom or a C<sub>1-3</sub>-alkyl group optionally terminally substituted by a cyano, trifluoromethyl-carbonylamino or N-(C<sub>1-3</sub>-alkyl)-trifluoromethyl-carbonyl-amino group and

R<sub>17</sub> denotes a C<sub>1-3</sub>-alkyl group,

B'  
cont

wherein all the single-bonded or fused phenyl groups contained in the groups mentioned under R<sub>6</sub> may be substituted by a fluorine, chlorine or bromine atom, by a methyl, trifluoromethyl, methoxy, nitro or cyano group and

5 R<sub>5</sub> denotes a hydrogen atom,

wherein a hydrogen atom bound to a nitrogen atom in the abovementioned groups may be replaced by an acetyl or tert.butoxycarbonyl group,

10 the carboxy groups contained in the abovementioned groups may also be present in the form of the tert.butoxycarbonyl precursor group,

or a tautomer or salt thereof.

15

4. A compound of the formula I according to claim 1, wherein:

X denotes an oxygen atom,

20 R<sub>1</sub> and R<sub>5</sub> each denote a hydrogen atom,

R<sub>2</sub> denotes a methoxycarbonyl, ethoxycarbonyl or aminocarbonyl group,

R<sub>3</sub> denotes a phenyl group and

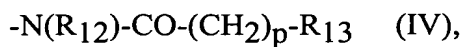
25

R<sub>4</sub> denotes a phenyl group monosubstituted by the group R<sub>6</sub>, wherein

R<sub>6</sub> denotes an N-methyl-imidazol-2-yl group,

30 an unbranched C<sub>1-3</sub>-alkyl group which is terminally substituted by a C<sub>1-4</sub>-alkylamino, di-(C<sub>1-4</sub>-alkyl)-amino, piperidino or 2,6-dimethyl-piperidino group,

B' cont  
a group of formula



5

wherein

R<sub>12</sub> denotes a C<sub>1-3</sub>-alkyl group,

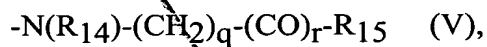
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p denotes one of the numbers 1 or 2 and

R<sub>13</sub> denotes a di-(C<sub>1-3</sub>-alkyl)-amino group,

or a group of formula

15



wherein

20

R<sub>14</sub> denotes a C<sub>1-3</sub>-alkyl-carbonyl or C<sub>1-3</sub>-alkylsulphonyl group,

q denotes one of the numbers 1, 2 or 3,

25

r denotes the number 1 or, if q is one of the numbers 2 or 3, r may also denote the number 0 and

R<sub>15</sub> denotes a di-(C<sub>1-3</sub>-alkyl)-amino group,

or a tautomer or salt thereof.

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5. A compound selected from the group consisting of:

(a) 3-Z-[1-(4-(piperidin-1-yl-methyl)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(b) 3-Z-[(1-(4-(piperidin-1-yl-methyl)-anilino)-1-phenyl-methylene)-6-carbamoyl-2-indolinone,

(c) 3-Z-[1-(4-(piperidin-1-yl-methyl)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(d) 3-Z-[1-(4-(dimethylaminomethyl)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(e) 3-Z-[1-(4-((2,6-dimethyl-piperidin-1-yl)-methyl)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(f) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-acetyl-amino)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(g) 3-Z-[1-(4-(N-(3-dimethylamino-propyl)-N-acetyl-amino)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(h) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-ethoxycarbonyl-2-indolinone,

(i) 3-Z-[1-(4-(dimethylaminomethyl)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(j) 3-Z-[1-(4-(N-acetyl-N-dimethylaminocarbonylmethyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(k) 3-Z-[1-(4-ethylaminomethyl-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

5 (l) 3-Z-[1-(4-(N-methyl-imidazol-2-yl)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(m) 3-Z-[1-(4-(N-dimethylaminomethylcarbonyl-N-methyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

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(n) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

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(o) 3-Z-[1-(4-(N-(3-dimethylamino-propyl)-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(p) 3-Z-[1-(4-(N-dimethylaminocarbonylmethyl-N-methylsulphonyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

20

(q) 3-Z-[1-(4-(N-((2-dimethylamino-ethyl)-carbonyl)-N-methyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

(r) 3-Z-[1-(4-(N-(2-dimethylamino-ethyl)-N-acetyl-amino)-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone and

25

(s) 3-Z-[1-(4-methylaminomethyl-anilino)-1-phenyl-methylene]-6-methoxycarbonyl-2-indolinone,

or a tautomer or salt thereof.

30

6. A physiologically acceptable salt of a compound according to claim 1, 2, 3, 4 or 5.

7. A pharmaceutical composition containing a compound according to claim 1, 2, 3 or  
5 4, or a physiologically acceptable salt thereof in accordance with claim 5, together with a  
pharmaceutically acceptable carrier.

8. A method for treating excessive or anomalous cell proliferation which comprises  
10 administering to a host in need of such treatment an antiproliferative amount of a  
compound in accordance with claim 1, 2, 3 or 4, or a physiologically acceptable salt  
thereof in accordance with claim 5.



